

09/288,556

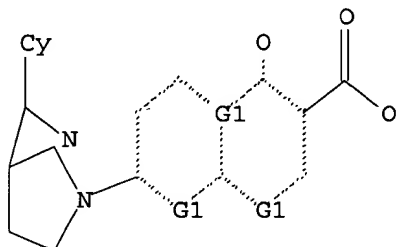
LD IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.  
For a list of commands available to you in the current file, enter  
"HELP COMMANDS" at an arrow prompt (=>).

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 11:07:38 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 105 TO ITERATE

100.0% PROCESSED 105 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1486 TO 2714

PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 11:07:45 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2176 TO ITERATE

100.0% PROCESSED 2176 ITERATIONS

35 ANSWERS

SEARCH TIME: 00.00.01

L3 35 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

148.15

148.36

FILE 'CAPLUS' ENTERED AT 11:07:54 ON 10 JUL 2003

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FILE COVERS 1907 - 10 Jul 2003 VOL 139 ISS 2  
FILE LAST UPDATED: 9 Jul 2003 (20030709/ED)

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> s l3

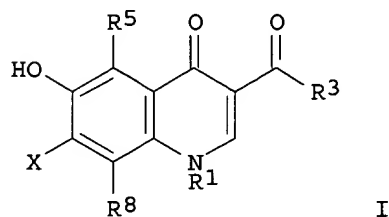
L4 5 L3

=> d l4 1-5 ibib abs hitstr

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2002:315470 CAPLUS  
DOCUMENT NUMBER: 136:340596  
TITLE: Preparation of quinolones as antibacterials.  
INVENTOR(S): Ledoussal, Benoit; Almstead, Ji-in Kim; Gray, Jeffrey  
Lyle  
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA  
SOURCE: U.S. Pat. Appl. Publ., 18 pp., Cont.-in-part of U. S.  
Ser. No. 266,197.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002049192	A1	20020425	US 2001-929943	20010815
US 6387928	B1	20020514		
ZA 9808415	A	19990315	ZA 1998-8415	19980915
US 6329391	B1	20011211	US 1999-266197	19990310
US 2002173501	A1	20021121	US 2002-85786	20020228
PRIORITY APPLN. INFO.:			US 1997-58891P	P 19970915
			US 1998-139859	B2 19980825
			US 1999-266197	A2 19990310
			US 2001-929943	A1 20010815

OTHER SOURCE(S): MARPAT 136:340596  
GI



AB Title compds. [I; X = R7-, R9-substituted azetidiny, pyrrolidinyl,  
piperidinyl; R1 = (substituted) C3-5 cycloalkyl, alkyl, alkenyl, Ph; R3 =

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H, OH; R5 = H, OH, amino, halo, (substituted) alkyl, alkenyl, MeO; R8 = F, Cl, Br; R7 = (substituted) amino, aminoalkyl; R9 = H, (substituted) alkyl, alkenyl, alkynyl, C3-6 fused or spirocycle alkyl ring; 1 R9 = optionally OH, alkoxy, aryl, heteroaryl; R7R9 = atoms to form a fused or spirocycle ring], were prepd. as antibacterials (no data). 1 drug formulations are given.

IT 416848-87-8P

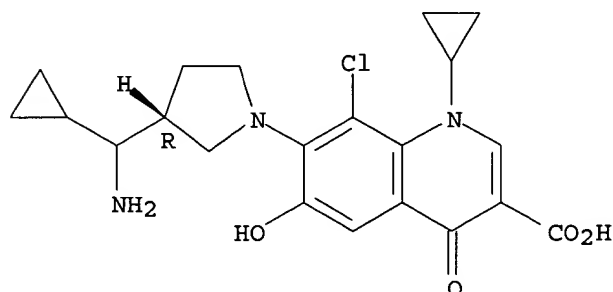
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of)

RN 416848-87-8 CAPLUS

CN 3-Quinolonecarboxylic acid, 7-[(3R)-3-(aminocyclopropylmethyl)-1-pyrrolidinyl]-8-chloro-1-cyclopropyl-1,4-dihydro-6-hydroxy-4-oxo- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:895649 CAPLUS

DOCUMENT NUMBER: 136:20031

TITLE: Compositions and uses of antimicrobial quinolones

INVENTOR(S): Ledoussal, Benoit; Almstead, Ji-In Kim; Gray, Jeffrey Lyle; Hu, Xiufeng Eric

PATENT ASSIGNEE(S): The Procter & Gamble Co., USA

SOURCE: U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 139,859, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

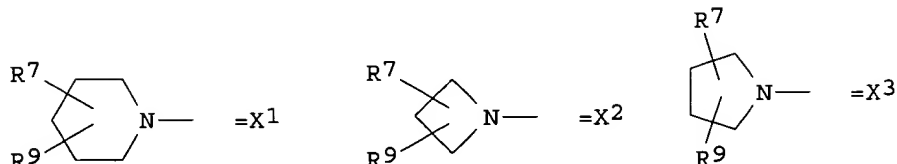
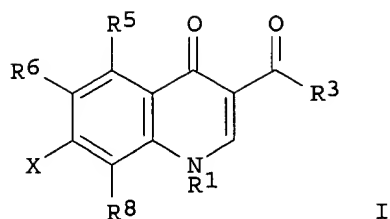
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6329391	B1	20011211	US 1999-266197	19990310
ZA 9808415	A	19990315	ZA 1998-8415	19980915
US 2002049192	A1	20020425	US 2001-929943	20010815
US 6387928	B1	20020514		
US 2002173501	A1	20021121	US 2002-85786	20020228
PRIORITY APPLN. INFO.:			US 1997-58891P	P 19970915
			US 1998-139859	B2 19980825
			US 1999-266197	A2 19990310
			US 2001-929943	A1 20010815

OTHER SOURCE(S): MARPAT 136:20031

GI



AB This invention relates to novel antimicrobial compds., e.g., I [X = X<sup>1</sup>, X<sup>2</sup>, X<sup>3</sup>; R<sup>1</sup> = (un)substituted C3-5-cycloalkyl, Me, Et, vinyl, propenyl, branched C3-4-alkyl, C3-4-alkenyl, Ph, C<sub>6</sub>H<sub>4</sub>OH-4 (substituted with 1 - 3 F); R<sup>3</sup> = H, OH; R<sup>5</sup> = H, OH, halo, NH<sub>2</sub>, Me, Et, vinyl, OMe (substituted with 1 - 3 F); R<sup>6</sup> = H, OH, aminocarbonyl, Br, CN, Me, Et, CH<sub>2</sub>NH<sub>2</sub>, CH<sub>2</sub>OH, CH<sub>2</sub>CH<sub>2</sub>OH, CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, C2-4-alkenyl, C2-4-alkynyl (substituted with 1 - 3 F); R<sup>7</sup> = NH<sub>2</sub> not adjacent to ring N, C1-3-alkylamino, H<sub>2</sub>N-C1-3-alkyl, C1-3-alkylamino-C1-3-alkyl, di(C1-3-alkyl)amino-C1-3-alkyl; R<sup>8</sup> = OMe, SMe, CH<sub>2</sub>F, CHF<sub>2</sub>, CF<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>F, CH<sub>2</sub>CHF<sub>2</sub>, CH<sub>2</sub>CF<sub>3</sub>; R<sup>9</sup> = H, C1-4-alkyl, C2-6-alkenyl, C2-6-alkynyl, C3-6-spirocycloalkyl; R<sup>7</sup>R<sup>9</sup> = spirocycle contg. 2 - 5 carbons and 0 - 1 nitrogens], and to their optical isomers, diastereomers or enantiomers, as well as pharmaceutically-acceptable salts, hydrates, and biohydrolyzable esters, amides and imides thereof, and to compns. and uses of such compds. The invention also relates to compds. derived from these compds. having antimicrobial uses. Thus, two tablets, coated with a suspension of methacrylic acid/ester polymer in Me<sub>2</sub>CHOH/MeCOMe, are orally administered every 8 h for 4 days to a human subject, having a urinary tract infection with Escherichia coli present; symptoms of the disease subsided, indicating substantial eradication of the pathogen.

IT 378746-57-7P

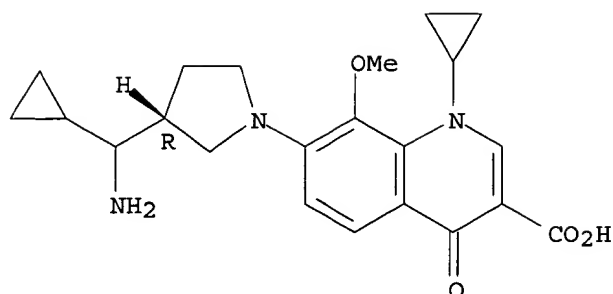
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(compns. and uses of antimicrobial quinolones)

RN 378746-57-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[(3R)-3-(aminocyclopropylmethyl)-1-pyrrolidinyl]-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:646003 CAPLUS

DOCUMENT NUMBER: 133:222606

TITLE: Preparation of 3-(aminomethyl)pyrrolidine derivatives having aromatic substituents as antibacterial agents

INVENTOR(S): Takemura, Makoto; Takahashi, Hisashi; Kawakami, Katsuhiko; Takeda, Toshiyuki; Miyauchi, Rie

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 140 pp.

CODEN: PIXXD2

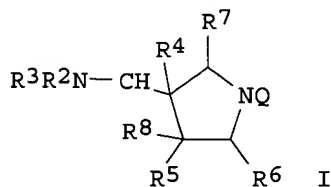
DOCUMENT TYPE: Patent

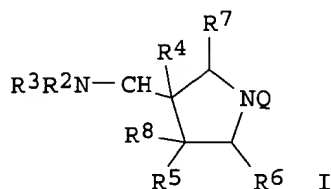
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000053594	A1	20000914	WO 2000-JP1439	20000309
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1182202	A1	20020227	EP 2000-907973	20000309
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001004374	A	20011112	NO 2001-4374	20010907
PRIORITY APPLN. INFO.:			JP 1999-62806	A 19990310
			WO 2000-JP1439	W 20000309
OTHER SOURCE(S):		MARPAT 133:222606		
GI				





AB Prepds. are quinolone derivs. having potent antibacterial effects on various bacteria including insensible bacilli, which are compds. represented by general formula (I), salts of the same, or hydrates of both [wherein R1 is an optionally substituted C6-10 aryl or heteroaryl; R2 and R3 are each hydrogen or optionally substituted alkyl; R4, R5 and R6 are each hydrogen, hydroxyl, halogeno, carbamoyl, or C1-6 alkyl, alkoxy, or alkylthio; R7 and R8 are each hydrogen or C1-6 alkyl; R9 is C1-6 alkyl, C2-6 alkenyl, C1-6 halogenoalkyl, optionally substituted C3-6 cycloalkyl, aryl, or heteroaryl, or C1-6 alkoxy or alkylamino; R10 is hydrogen or C1-6 alkylthio; R11 is hydrogen, amino, hydroxyl, thiol, halomethyl, C1-6 alkyl, or the like; X1 is halogeno or hydrogen; A1 is nitrogen or C-X2; X2 is hydrogen, amino, halogeno, or the like; A2 and A3 are each >C:C(:A1)-N(R9)- or >N-C(:A1):C(R9)-; R10 and R9 or R9 and X2 may be united to form a ring structure; and Y is hydrogen or an ester-forming group]. Thus, (R)-3-[1-(tert-butoxycarbonylamino)-1-phenylmethyl]pyrrolidine was added to a suspension of 5-amino-6,7,8-trifluoro-1-[(1S,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxoquinoline-3-carboxylic acid in MeCN and refluxed in the presence of Et3N for 14 h, followed by treatment of the product with concd. aq. HCl to give 5-amino-7-[(R)-3-(1-amino-1-phenylmethyl)-1-pyrrolidinyl]-6,8-difluoro-1-[(1S,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxoquinoline-3-carboxylic acid (II). II showed min. inhibitory concn. of .ltoreq.0.003 .mu.g/mL against Escherichia coli NIHJ, Staphylococcus aureus FDA 209P, and Staphylococcus epidermidis 56500.

IT 292054-66-1P 292054-67-2P 292054-69-4P  
 292054-70-7P 292054-71-8P 292054-72-9P  
 292054-84-3P 292054-85-4P 292055-10-8P  
 292055-11-9P 292055-41-5P 292055-42-6P  
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 292055-57-3P 292055-58-4P

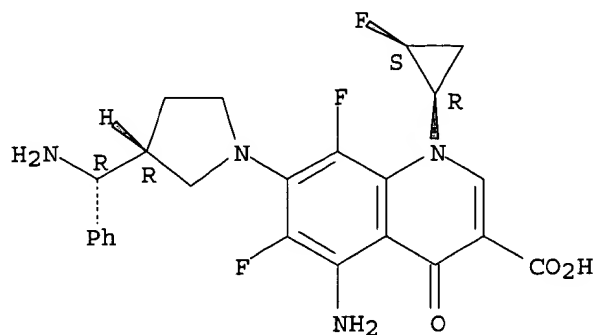
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of [(aminomethyl)pyrrolidinyl]dihydrooxoquinolinecarboxylic acid derivs. as antibacterial agents)

RN 292054-66-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-aminophenylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

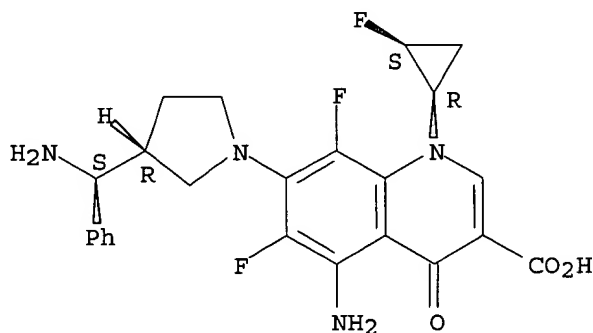
09/288,556



RN 292054-67-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-aminophenylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

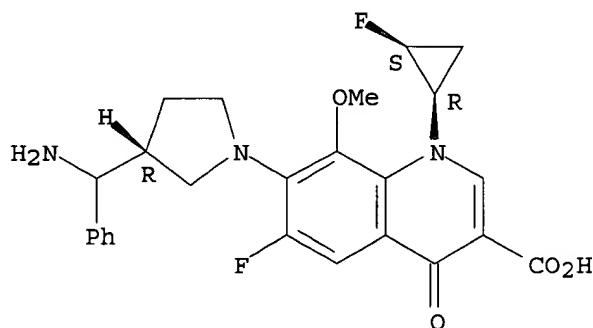


*applicants*

RN 292054-69-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[(3R)-3-(aminophenylmethyl)-1-pyrrolidinyl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

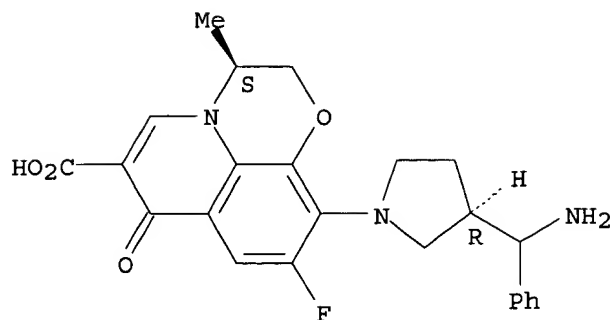


RN 292054-70-7 CAPLUS

CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 10-[(3R)-3-(aminophenylmethyl)-1-pyrrolidinyl]-9-fluoro-2,3-dihydro-3-methyl-7-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

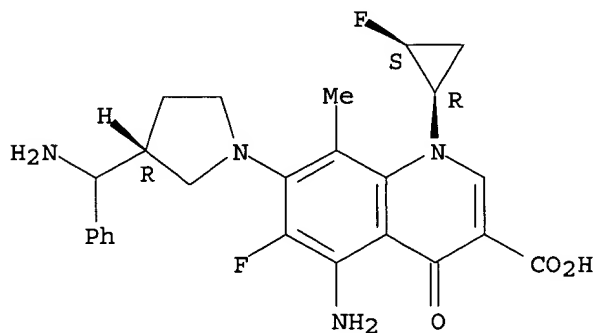
09/288,556



RN 292054-71-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-(aminophenylmethyl)-1-pyrrolidinyl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methyl-4-oxo- (9CI) (CA INDEX NAME)

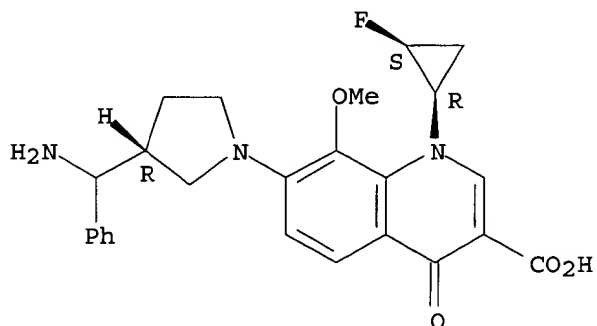
Absolute stereochemistry.



RN 292054-72-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[(3R)-3-(aminophenylmethyl)-1-pyrrolidinyl]-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



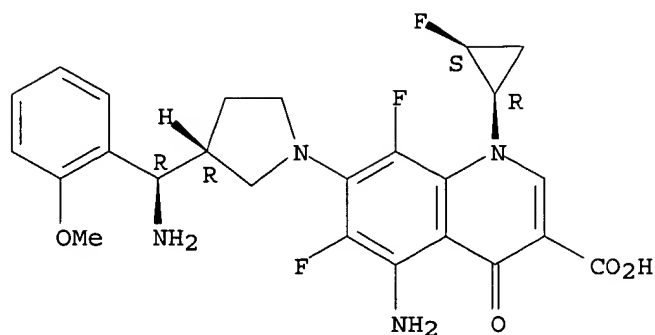
RN 292054-84-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-amino(2-methoxyphenyl)methyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



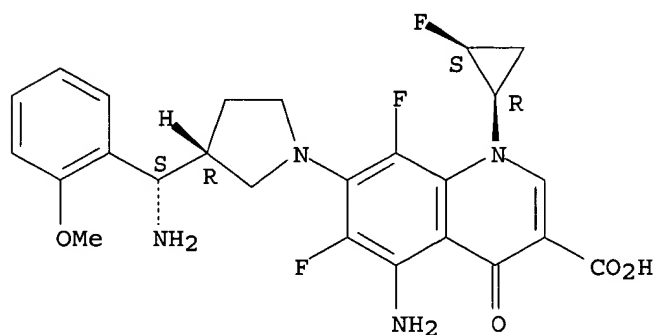
09/288,556



RN 292054-85-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-amino(2-methoxyphenyl)methyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

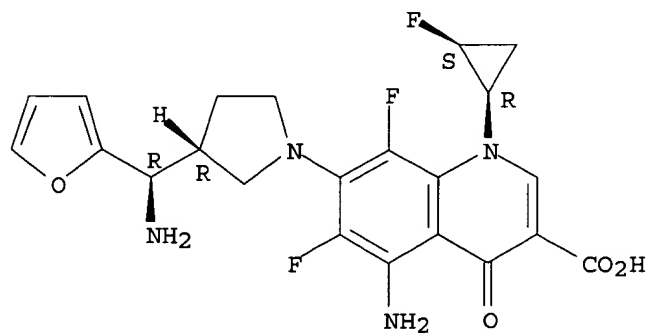
Absolute stereochemistry.



RN 292055-10-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-amino(2-furanylmethyl)-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

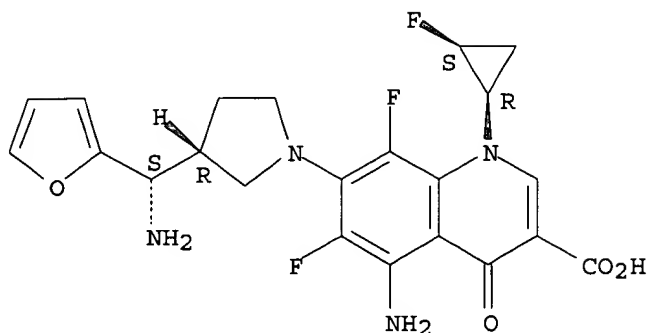


RN 292055-11-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-amino(2-furanylmethyl)-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

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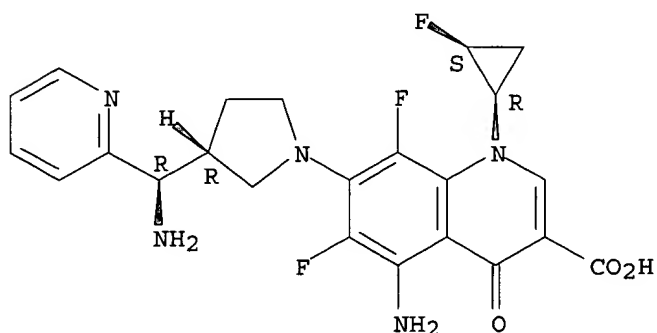
Absolute stereochemistry.



RN 292055-41-5 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-amino-2-pyridinylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

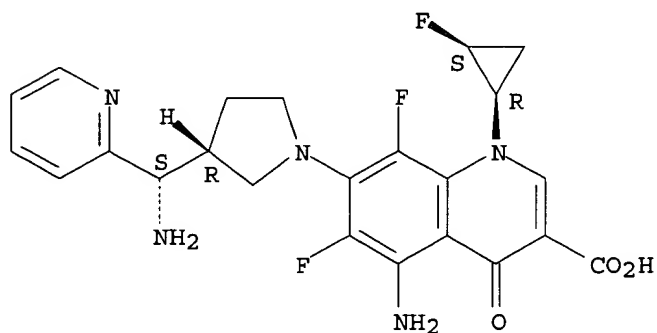
Absolute stereochemistry.



RN 292055-42-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-amino-2-pyridinylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

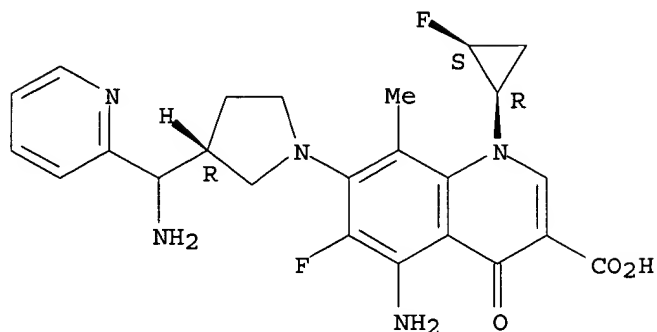


RN 292055-43-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-(amino-2-pyridinylmethyl)-1-pyrrolidinyl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methyl-4-oxo- (9CI) (CA INDEX NAME)

09/288,556

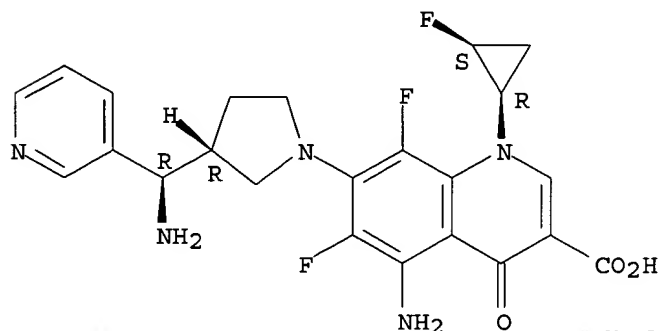
Absolute stereochemistry.



RN 292055-53-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-amino-3-pyridinylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

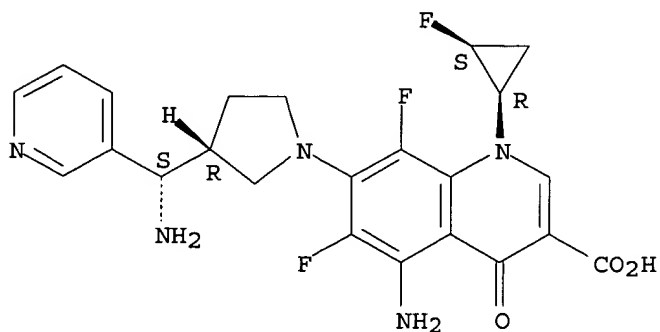
Absolute stereochemistry.



RN 292055-55-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-amino-3-pyridinylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



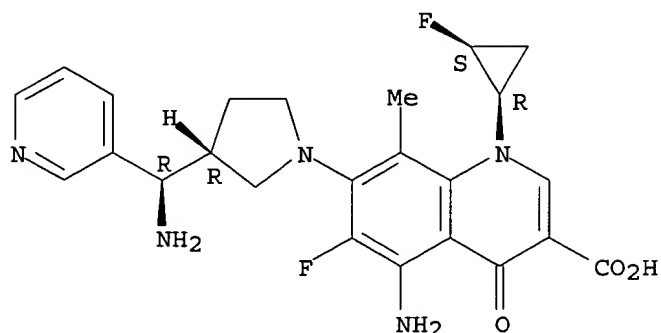
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CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-amino-3-pyridinylmethyl]-1-pyrrolidinyl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-

09/288,556

1,4-dihydro-8-methyl-4-oxo- (9CI) (CA INDEX NAME)

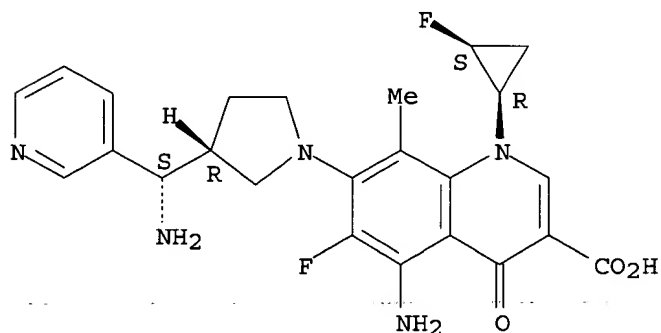
Absolute stereochemistry.



RN 292055-58-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-amino-3-pyridinylmethyl]-1-pyrrolidinyl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methyl-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 292054-96-7P 292054-97-8P 292055-27-7P  
292055-28-8P

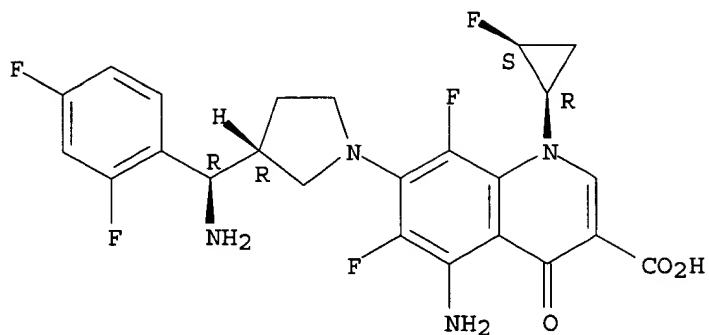
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of [(aminomethyl)pyrrolidinyl]dihydrooxoquinolinecarboxylic acid derivs. as antibacterial agents)

RN 292054-96-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-amino(2,4-difluorophenyl)methyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

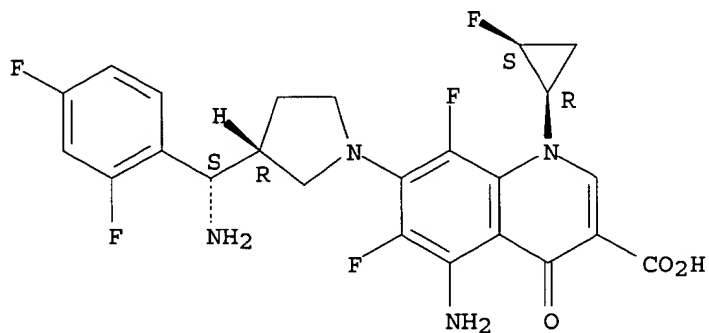
09/288,556



RN 292054-97-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-amino(2,4-difluorophenyl)methyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

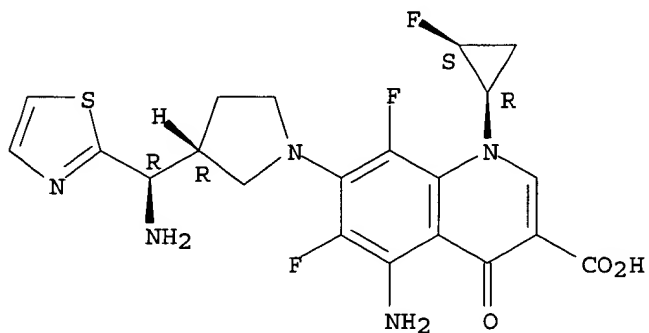
Absolute stereochemistry.



RN 292055-27-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-amino-2-thiazolylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

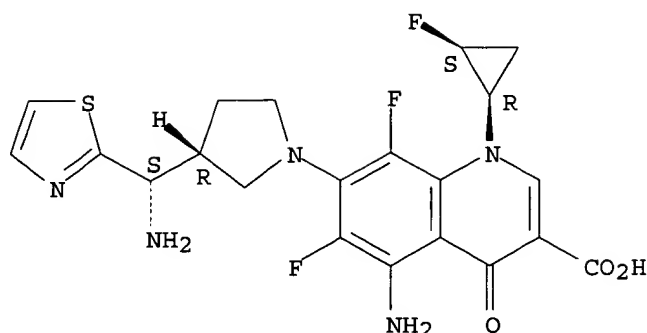
Absolute stereochemistry.



RN 292055-28-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-amino-2-thiazolylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:368335 CAPLUS

DOCUMENT NUMBER: 132:347503

TITLE: Preparation of 7-[3-(cycloalkylaminomethyl)pyrrolidin-1-yl]quinolone derivatives as antibacterial agents

INVENTOR(S): Takemura, Makoto; Takahashi, Hisashi; Miyauchi, Rie; Takeda, Toshiyuki; Hayakawa, Isao

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

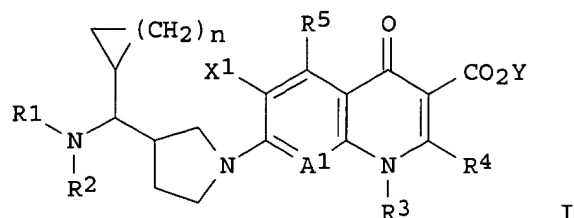
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000031062	A1	20000602	WO 1999-JP6521	19991122
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1134219	A1	20010919	EP 1999-972631	19991122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9915599	A	20011120	BR 1999-15599	19991122
AU 757805	B2	20030306	AU 2000-11862	19991122
NO 2001002522	A	20010724	NO 2001-2522	20010522
US 6573260	B1	20030603	US 2001-856631	20010524
PRIORITY APPLN. INFO.:			JP 1998-332235	A 19981124
			WO 1999-JP6521	W 19991122

OTHER SOURCE(S): MARPAT 132:347503

GI



AB Compds. represented by general formula [I; wherein R1 and R2 are each hydrogen or alkyl; n is 1 to 4; R3 is alkyl, alkenyl or the like; R4 is hydrogen or alkylthio; R5 is hydrogen, amino or the like; X1 is halogeno or hydrogen; A1 is nitrogen or a group represented by general :C(X2) (wherein X2 is hydrogen, amino or the like); R4 and R3, and X2 and R3 may be each united to form a cyclic structure; and Y is hydrogen or an ester-forming group], salts thereof, and hydrates of both are prepd. These quinolone derivs. have high safety and exhibit a broad spectrum of potent antibacterial effects on various bacteria, in particular methicillin-resistant Staphylococcus aureus, penicillin-resistant pneumoniae, and gram-pos. bacteria. A capsule, an injection soln., and a dispersant for feed contg. 5-Amino-7-[3-(1-amino-1-cyclopropylmethyl)pyrrolidin-1-yl]-6,8-difluoro-1-[2-(S)-fluoro-1-(R)-cyclopropyl]-1,4-dihydro-4-oxoquinoline-3-carboxylic acid were formulated.

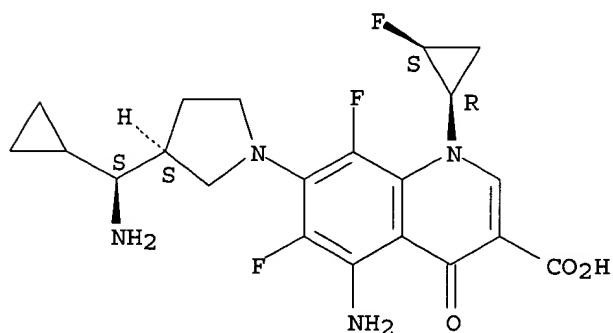
IT 269406-85-1P 269406-86-2P 269406-87-3P  
269406-88-4P 269406-98-6P 269406-99-7P  
269407-00-3P 269407-01-4P 269407-14-9P  
269407-15-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 7-[3-(cycloalkylaminomethyl)pyrrolidin-1-yl]quinolone derivs. as antibacterial agents)

RN 269406-85-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3S)-3-[(S)-aminocyclopropylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

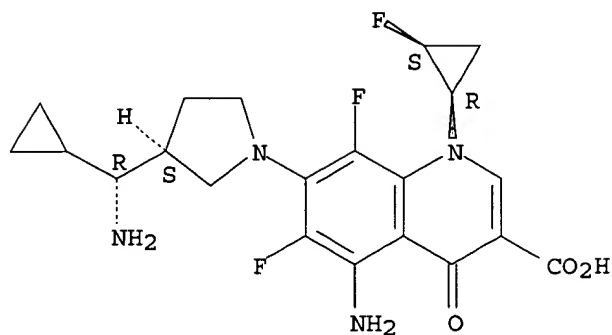
Absolute stereochemistry.



RN 269406-86-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3S)-3-[(R)-aminocyclopropylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

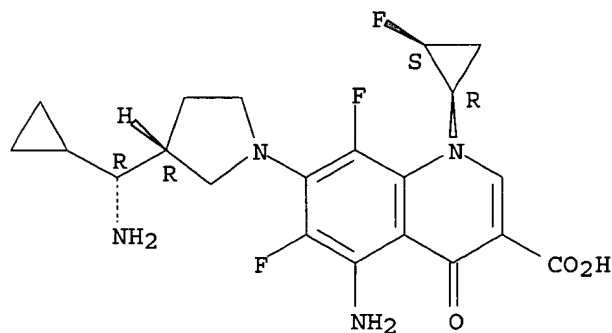
Absolute stereochemistry.



RN 269406-87-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-aminocyclopropylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

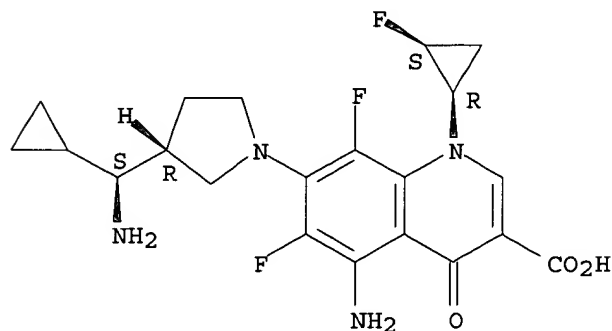
Absolute stereochemistry.



RN 269406-88-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-aminocyclopropylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



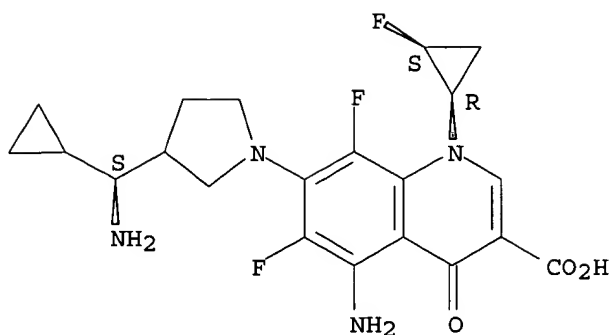
RN 269406-98-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[3-[(S)-aminocyclopropylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



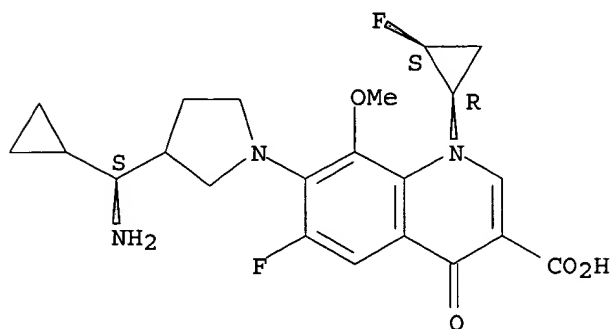
09/288,556



RN 269406-99-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[3-[(S)-aminocyclopropylmethyl]-1-pyrrolidinyl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)

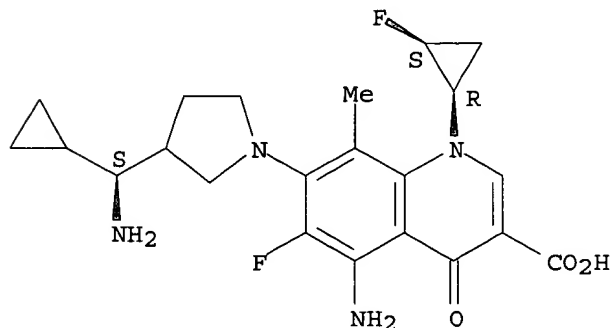
Absolute stereochemistry.



RN 269407-00-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[3-[(S)-aminocyclopropylmethyl]-1-pyrrolidinyl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methyl-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

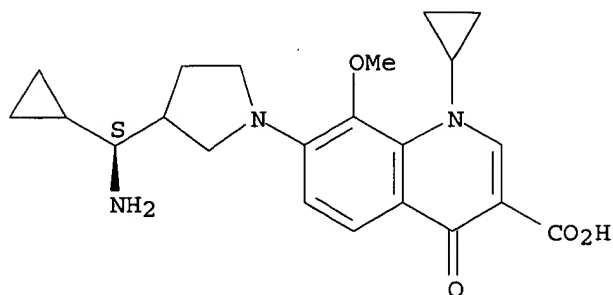


RN 269407-01-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[3-[(S)-aminocyclopropylmethyl]-1-pyrrolidinyl]-1-cyclopropyl-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA INDEX NAME)

09/288,556

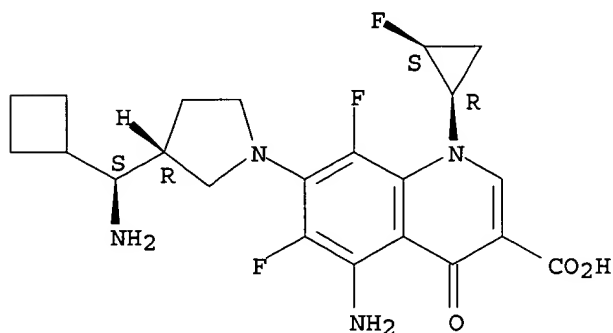
Absolute stereochemistry.



RN 269407-14-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(S)-aminocyclobutylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

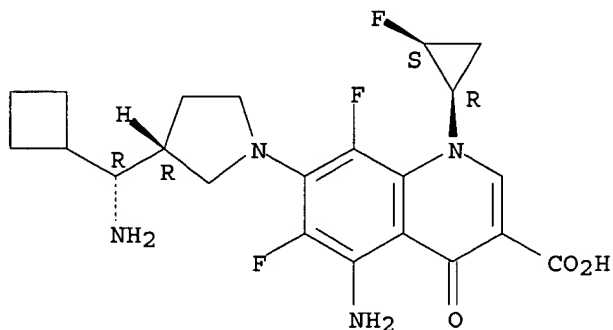
Absolute stereochemistry.



RN 269407-15-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R)-3-[(R)-aminocyclobutylmethyl]-1-pyrrolidinyl]-6,8-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



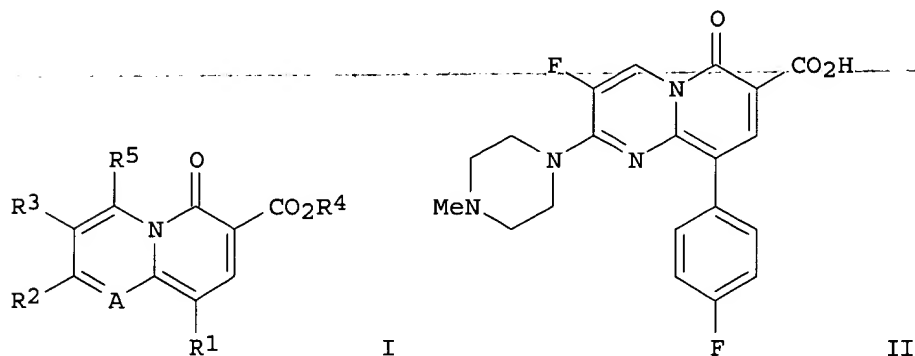
REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1997:116497 CAPLUS

09/288,556

DOCUMENT NUMBER: 126:117990  
TITLE: Preparation of quinolizininone- and pyridopyrimidinonecarboxylates as antibacterials  
INVENTOR(S): Chu, Daniel T.; Li, Qun; Cooper, Curt S.; Fung, Anthony K. L.; Lee, Cheuk M.; Plattner, Jacob J.; Ma, Zhenkun; Wang, Wei-Bo  
PATENT ASSIGNEE(S): Abbott Laboratories, USA  
SOURCE: PCT Int. Appl., 412 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 4  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9639407	A1	19961212	WO 1996-US8991	19960605
W: AU, CA, IL, JP, KP, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2222322	AA	19961212	CA 1996-2222322	19960605
AU 9661530	A1	19961224	AU 1996-61530	19960605
EP 871628	A1	19981021	EP 1996-919103	19960605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11510478	T2	19990914	JP 1996-501420	19960605
PRIORITY APPLN. INFO.:		US 1995-469159	A	19950606
		US 1996-638112	A	19960529
		WO 1996-US8991	W	19960605
OTHER SOURCE(S):		MARPAT 126:117990		
GI				



AB Title compds. [I; A = N or CR<sub>6</sub>; R<sub>1</sub> = halo, (cyclo)alkyl, alkoxy, (un)substituted Ph, etc.; R<sub>2</sub> = halo, (cyclo)alkyl, alkoxy, N-contg. heterocyclyl, etc.; R<sub>3</sub> = H, halo, alkoxy; R<sub>4</sub> = H, alkyl, cation, etc.; R<sub>5</sub>, R<sub>6</sub> = H, halo, alkyl, alkoxy, etc.] were prepd. Thus, 4-FC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>C(:NH)NH<sub>2</sub> was cyclocondensed with NaOCH:CFCO<sub>2</sub>Et (prepn. given) and the chlorinated product aminated by 1-methylpiperazine to give 5-fluoro-2-(4-fluorobenzyl)-4-(4-methylpiperazino)pyrimidine which was condensed with EtOCH:C(CO<sub>2</sub>Et)<sub>2</sub> and the product cyclized to give, in 2 addnl. steps, title compd. II. Data for biol. activity of I were given.

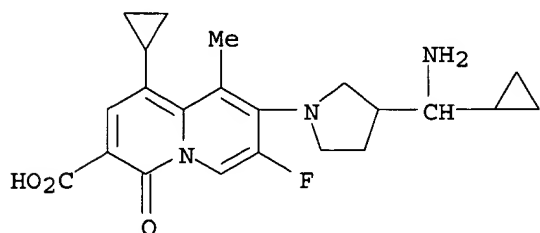
IT **186197-04-6P 186198-69-6P**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

09/288,556

(prepn. of quinolizininone- and pyridopyrimidinonecarboxylates as  
antibacterials)

RN 186197-04-6 CAPLUS

CN 4H-Quinolizine-3-carboxylic acid, 8-[3-(aminocyclopropylmethyl)-1-  
pyrrolidinyl]-1-cyclopropyl-7-fluoro-9-methyl-4-oxo-, monohydrochloride  
(9CI) (CA INDEX NAME)



● HCl

RN 186198-69-6 CAPLUS

CN 4H-Quinolizine-3-carboxylic acid, 8-[3-(aminocyclopropylmethyl)-1-  
pyrrolidinyl]-1-cyclopropyl-7-fluoro-9-methyl-4-oxo- (9CI) (CA INDEX  
NAME)

